4/1/2004

```
=> d his

     (FILE 'HOME' ENTERED AT 17:31:03 ON 01 APR 2004)

     FILE 'REGISTRY' ENTERED AT 17:31:12 ON 01 APR 2004
L1             STRUCTURE UPLOADED
L2          79 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 17:32:13 ON 01 APR 2004
L3          11 S L2

     FILE 'USPATFULL, USPAT2' ENTERED AT 17:33:21 ON 01 APR 2004
L4           1 S L2

     FILE 'STNGUIDE' ENTERED AT 17:34:14 ON 01 APR 2004

     FILE 'REGISTRY' ENTERED AT 17:54:38 ON 01 APR 2004
L5             STRUCTURE UPLOADED
L6          11 S L5
L7         178 S L5 FUL

     FILE 'CAPLUS' ENTERED AT 17:55:01 ON 01 APR 2004
               S L7 AND  PMS/CI

     FILE 'REGISTRY' ENTERED AT 17:55:17 ON 01 APR 2004
L8      1003895 S PMS/CI

     FILE 'CAPLUS' ENTERED AT 17:55:18 ON 01 APR 2004
               S L7 PMS/CI

     FILE 'REGISTRY' ENTERED AT 17:55:37 ON 01 APR 2004

     FILE 'CAPLUS' ENTERED AT 17:55:38 ON 01 APR 2004

     FILE 'CAPLUS' ENTERED AT 17:55:48 ON 01 APR 2004
L9          50 S L7
               S L9 AND PMS/CI

     FILE 'REGISTRY' ENTERED AT 17:55:58 ON 01 APR 2004
L10     1003895 S PMS/CI

     FILE 'CAPLUS' ENTERED AT 17:55:59 ON 01 APR 2004
L11          1 S L9 AND OLIGOMER

=> s l9 and polymer
        943355 POLYMER
        790252 POLYMERS
       1283390 POLYMER
                 (POLYMER OR POLYMERS)
L12         0 L9 AND POLYMER

=> s diketo piperazine ring
          3667 DIKETO
             2 DIKETOS
          3669 DIKETO
                 (DIKETO OR DIKETOS)
         24545 PIPERAZINE
```

4/1/2004

```
          3563 PIPERAZINES
         25360 PIPERAZINE
                 (PIPERAZINE OR PIPERAZINES)
        439278 RING
        114212 RINGS
        508871 RING
                 (RING OR RINGS)
L13          0 DIKETO PIPERAZINE RING
                 (DIKETO(W)PIPERAZINE(W)RING)

=> s diketopiperazine ring
          1684 DIKETOPIPERAZINE
           616 DIKETOPIPERAZINES
          1990 DIKETOPIPERAZINE
                 (DIKETOPIPERAZINE OR DIKETOPIPERAZINES)
        439278 RING
        114212 RINGS
        508871 RING
                 (RING OR RINGS)
L14        149 DIKETOPIPERAZINE RING
                 (DIKETOPIPERAZINE(W)RING)

=> s l14 and (monomer or oligomer or polymer)
        161948 MONOMER
        123647 MONOMERS
        245744 MONOMER
                 (MONOMER OR MONOMERS)
         35184 OLIGOMER
         44655 OLIGOMERS
         63505 OLIGOMER
                 (OLIGOMER OR OLIGOMERS)
        943355 POLYMER
        790252 POLYMERS
       1283390 POLYMER
                 (POLYMER OR POLYMERS)
L15          8 L14 AND (MONOMER OR OLIGOMER OR POLYMER)

=> d abs bib hitstr 1-8
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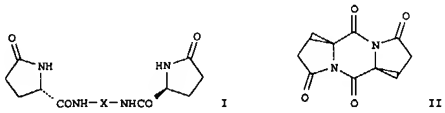
L15 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI



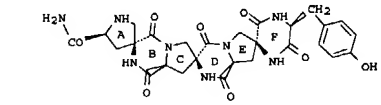
I

II

AB Multifunctional pyroglutamides I [X = (CH2)n; n = 2, 3, 6; CH2CH(Me)CH2CH2CH2; (CH2)2NH(CH2)2] have been synthesized in good yields by ring-opening reaction of pyroglutamic diketopiperazine II with primary diamines H2N-X-NH2. I displays good thermal stability and thermal transitions below the visible melting range. On the basis of **polymer**-like fiber formation, as well as good solubility but with significant solution viscosity of these nonpolymeric species, it is proposed that I forms hydrogen-bonded supramol. assocns.

AN 2003:356816 CAPLUS
DN 139:85610
TI Supramolecular Materials from Multifunctional Pyroglutamic Acid Derivatives
AU Parrish, Dennis A.; Mathias, Lon J.; Moore, Kate M.
CS School of Polymers and High Performance Materials, University of Southern Mississippi, Hattiesburg, MS, 39406-0076, USA
SO Macromolecules (2003), 36(12), 4250-4252
CODEN: MAMOBX; ISSN: 0024-9297
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:85610
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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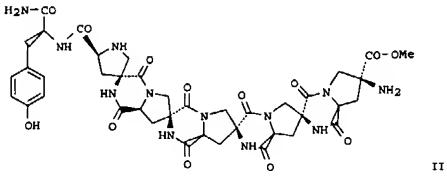


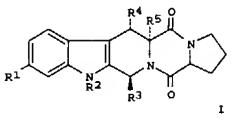
II

AB We report a synthetic approach to spiro-ladder **oligomers** of defined length and structure that form water-soluble mol. rods. We describe the synthesis of a chiral mol. building block and its assembly on solid support to form flexible chains that were then rigidified by the parallel formation of several **diketopiperazine rings**. Two mol. rods approx. 15 and 25 Å in length were synthesized containing three and five **monomers**, resp. (I and II). The mol. rods were easily functionalized on both ends and were shown to have high water solubility, making them compatible with biol. buffers. These mols. may find use as scaffolds for the display of ligands in chemical-biol. applications and as spacers and construction materials for nanoscience applications.

AN 2003:242711 CAPLUS
DN 138:385036
TI The Synthesis of Functionalized Nanoscale Molecular Rods of Defined Length
AU Levins, Christopher G.; Schafmeister, Christian E.
CS Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SO Journal of the American Chemical Society (2003), 125(16), 4702-4703
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 138:385036
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L15 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB A symposium report on solid phase synthesis towards the fumitremorgin [I; R1 = H, OMe; R2 = H, CH2CH:CMe2; R3 = CH:CMe2, CH2CMe2OH; R4 = OMe, OH, H, :O; R5 = H, OH], verruculogen and tryprostatin class to obtain access to analogs via multiple parallel synthesis. These analogs are potential tools in central nervous system receptor studies or as candidates for cancer chemotherapy. A cyclization/cleavage strategy, i.e., formation of the **diketopiperazine ring** with simultaneous cleavage from the resin as the major step, was applied. The major advantage of this approach, with the solid support acting as a leaving group during final cyclization of the resin-bound precursor, lies in the optional intrinsic product purification Upon introduction of the functionality required for cyclization with the last building block, cleavage is essentially restricted to the anticipated product, while side products remain attached to the solid support. In the final cyclization/cleavage step, only the cis-fused ring system can be formed, thus only of the trans precursor remains **polymer**-bound.

AN 2002:46901 CAPLUS
DN 137:125308
TI Solid phase synthesis of fumitremorgin type and other indole alkaloids based on cyclization/cleavage strategy
AU van Loevezijn, Arnold; Rodenko, Boris; Sorm, Willem P.; van Maarseveen, Jan H.; Stegman, Karel; Visser, Geb M.; van Delft, Floris L.; Koomen, Gerrit-Jan
CS Laboratory of Organic Chemistry, Institute for Molecular Chemistry, University of Amsterdam, Amsterdam, NL 1018 WS, Neth.
SO Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemistry Diversity, Collected Papers, International Symposium, 6th, York, United Kingdom, Aug. 31-Sept. 4, 1999 (2001), Meeting Date 1999, 367-370. Editor(s): Epton, Roger. Publisher: Mayflower Scientific Ltd., Kingswinford, UK.
CODEN: 69CEGV; ISBN: 0-9515735-3-5
DT Conference
LA English
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AB Poly(ester amides) having **diketopiperazine rings** in the main chain are prepared by heating [H2N(HO2C)CHRCO2]2R' (I). In an example, 0.1 mole tetramethylene glycol (II) at 0° was slowly mixed with 0.24 mole H2SO4 at 0°, and the mixture dispersed with 0.22 mole powdered L-aspartic acid (III). In the course of reaction with occasional stirring at 60° for 8 hrs., III gradually dissolved into a homogeneous viscous liquid and the mixture was heated a further 8 hrs. The resulting mixture was cooled to 20° and neutralized with 0.48 mole Bu2NH in a 1:1 volume MeOH solution to give 0.092 mole I [R = CH2, R' = (CH2)4] (IV). Melt polycondensation of IV under N at 130° for 3 hrs. and 140°/0.5 mm. for 1 hr. gave a poly(ester amide), η = 1.24 (0.2% in CHCl2CO2H), m. 137-9°, which absorbed 4.3% water at 20° and 65% relative humidity.
AN 1969:48053 CAPLUS
DN 70:48053
TI Poly(ester amides) having **diketopiperazine rings**
IN Kobayashi, Hidehiko; Yamaguchi, Koretaka; Yamashita, Takeshi
PA Asahi Chemical Industries Co.
SO Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 43013230	B4	19680604	JP	19641230

L15 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Polyamides, containing **diketopiperazine ring** in the chain, are prepared by condensation of HO2CCH(NH2)RCONHR'NHCORCH(NH2)CO2H, (I). Thus, 0.02 mole β-methyl L-aspartate in 80 cc. H2O is reacted with 0.01 mole hexamethylenediamine (II) in 2 cc. H2O at 60° for 10 hrs. to afford a viscous solution I [R = CH2, R' = (CH2)6] (III). I is obtained by the evaporation of H2O from the above solution at diminished pressure. III is heated at 170° for 4 hrs. under N, and then at 190° for 1 hr. to give the IV.
AN 1969:20516 CAPLUS
DN 70:20516
TI Polyamides containing **diketopiperazine rings**
IN Kobayashi, Hidehiko; Yamaguchi, Koretada; Yamashita, Takeshi
PA Asahi Chemical Industry Co., Ltd.
SO Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 43015833	B4	19680703	JP	19641216

L15 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AB Glycylamide (I), alanylamide, valylamide, norleucylamide, and leucylamide were obtained by known methods. The amides were polymerized at 150-80° for 12-25 hrs., the polymerization process being studied by the rate of NH3 evolution. The reaction rate increased with temperature Besides the linear polypeptides, the polymerization gave cyclic dimers, i.e. diketopiperazines. The maximum yield of linear polypeptides was obtained from I. Polymerization of the remaining amides gave predominantly cyclic dimers (73-82% yield). This indicates that alkyl substituents on a **diketopiperazine ring** increase its stability and that the piperazine-2,5-dione decompose during polymerization, giving a higher yield of linear products with higher mol. weight (8000).
AN 1966:508644 CAPLUS
DN 65:108644
OREF 65:20283e-f
TI Polycondensation of amides of α-amino acids
AU Korshak, V. V.; Rogozhin, S. V.; Kayumov, R. D.
CS Inst. Organoelemental Compds., Moscow
SO Vysokomolekulyarnye Soedineniya (1966), 8(7), 1271-4
CODEN: VMSDA8; ISSN: 0042-9368
DT Journal
LA Russian

L15 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AB cf. C.A. 43, 5403i. H2NCH2CO2Me was subjected to polycondensation by heating 6 hrs. under pressure in a vessel provided with sliding pistons; the material remained under pressure a total of 42 hrs. in each experiment The expts. made at 4500 atmospheric at 50°, 75°, and 130° showed that the pressure definitely increases the rate of polycondensation and its extent; the **polymer** obtained at 50° had average mol. weight 4368, that at 75° 3855, that at 130° 3284, but the yields were, resp., 10.6, 13, and 18.9%. At atmospheric pressure the products are polypeptides, insol. in H2O. The products formed under pressure contain 0.7-0.95% MeO groups; determination of amino N indicates that **diketopiperazine rings** are not formed and the products are probably linear.
AN 1954:55617 CAPLUS
DN 48:55617
OREF 48:9796i,9797a-b
TI Effect of pressure on the reaction of polycondensation of glycine methyl ester
AU Polyakova, A. M.; Vereshchagin, L. F.; Sakharova, A. A.; Tambovtseva, E. S.
CS Inst. Org. Chem., Acad. Sci. U.S.S.R., Moscow
SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1954) 142-8
CODEN: IASKA6; ISSN: 0002-3353
DT Journal
LA Unavailable

L15 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AB Anhydrolytic cleavage of gelatin by boiling with Ac2O yields 60% of products in which no Ac group is present. By distilling off the Ac2O, extracting the residue with EtOH and CHCl3 and precipitating with Et2O or petroleum ether, a number of fractions were obtained, 2 of which appeared to consist mainly of individual substances. Analysis of the fraction which showed the lower sp. rotation (-76.9°) gave values in agreement with those calculated for hydroxyprolylalanine. However, it failed to give the ninhydrin reaction or to form a Cu salt and it contained no amino N. The mol. weight of 808 corresponded exactly with that calculated for a **polymer** comprised of 4 mols. of hydroxyprolylalanine. When heated at 100° in vacuo it lost 3H2O. The fraction with sp. rotation of -101.4° corresponds in mol. weight and ultimate analysis to a **polymer** consisting of 1 hydroxyprolylalanine and 3 hydroxyprolylglycine minus 3H2O. Hydrolysis of these products yielded substances showing the qual. characteristics of the components assigned. The fact that in titration of these **polymers** 84% of the total alkali required for neutralization is used up instantaneously argues against the assumption of a diketopiperazine structure. After neutralization the original substance may be recovered, but an excess of alkali tends to depolymerize, hydrolyze and even racemize the substance. Depolymerization with Ba(OH)2 yields only amino acids, hence it is assumed that the intermediate dipeptide is hydrolyzed more rapidly than it is formed. A structural formula is given to represent the possible structure of the **polymer**, based on the assumption that the dipeptide is present in its tautomeric form. The formula illustrates the ease with which **diketopiperazine rings** can form by simple loss of H2O. The dehydrated formula then illustrates the 3 types of cleavage, yielding, resp., the original **polymer**, the component dipeptides and the ultimate amino acids. Such **polymers** of dipeptides may possibly represent the "chemical units" from which protein mols. are formed by colloidal aggregation. It is significant that partial hydrolysis of proteins by the ordinary methods yields a considerable amount of dipeptides. A study of polymerization and aggregation phenomena is suggested as a promising mode of procedure for elucidating the protein structure.
AN 1928:3466 CAPLUS
DN 22:3466
OREF 22:431f-i
TI The degradation of gelatin by acetic anhydride
AU Fodor, A.; Epstein, Chasuva
SO Z. physiol. Chem. (1927), 171, 222-41
DT Journal
LA Unavailable

4/1/2004

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=> FIL STNGUIDE
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        48.25     438.04

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -6.24     -13.86

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 26, 2004 (20040326/UP).

=> d his

     (FILE 'HOME' ENTERED AT 17:31:03 ON 01 APR 2004)

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L1              STRUCTURE UPLOADED
L2           79 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 17:32:13 ON 01 APR 2004
L3           11 S L2

     FILE 'USPATFULL, USPAT2' ENTERED AT 17:33:21 ON 01 APR 2004
L4            1 S L2

     FILE 'STNGUIDE' ENTERED AT 17:34:14 ON 01 APR 2004

     FILE 'REGISTRY' ENTERED AT 17:54:38 ON 01 APR 2004
L5              STRUCTURE UPLOADED
L6           11 S L5
L7          178 S L5 FUL

     FILE 'CAPLUS' ENTERED AT 17:55:01 ON 01 APR 2004
                S L7 AND  PMS/CI

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L8      1003895 S PMS/CI

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                S L7 PMS/CI

     FILE 'REGISTRY' ENTERED AT 17:55:37 ON 01 APR 2004

     FILE 'CAPLUS' ENTERED AT 17:55:38 ON 01 APR 2004

     FILE 'CAPLUS' ENTERED AT 17:55:48 ON 01 APR 2004
L9           50 S L7
                S L9 AND PMS/CI

     FILE 'REGISTRY' ENTERED AT 17:55:58 ON 01 APR 2004
L10     1003895 S PMS/CI
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4/1/2004

```
     FILE 'CAPLUS' ENTERED AT 17:55:59 ON 01 APR 2004
L11           1 S L9 AND OLIGOMER
L12           0 S L9 AND POLYMER
L13           0 S DIKETO PIPERAZINE RING
L14         149 S DIKETOPIPERAZINE RING
L15           8 S L14 AND (MONOMER OR OLIGOMER OR POLYMER)

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.90     438.94

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                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -13.86

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FILE COVERS 1907 - 1 Apr 2004  VOL 140 ISS 14
FILE LAST UPDATED: 31 Mar 2004  (20040331/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l7
L16          50 L7

=> s l16 and pms/ci
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Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.




TOO MANY TERMS FOR FILE CROSSOVER IN L17
COMMAND STACK INTERRUPTED.  ENTER "DISPLAY HISTORY"
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4/1/2004

TO SEE WHICH COMMANDS WERE EXECUTED.

There are limits on the size of an answer set being crossed over from one file to another. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

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        161948 MONOMER
        123647 MONOMERS
        245744 MONOMER
                  (MONOMER OR MONOMERS)
         35184 OLIGOMER
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         63505 OLIGOMER
                  (OLIGOMER OR OLIGOMERS)
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L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
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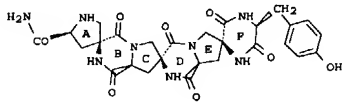

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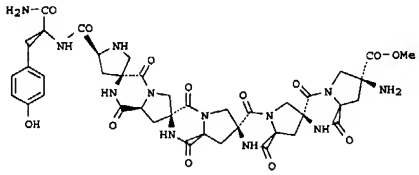


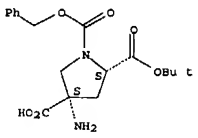
II

AB We report a synthetic approach to spiro-ladder **oligomers** of defined length and structure that form water-soluble mol. rods. We describe the synthesis of a chiral mol. building block and its assembly on solid support to form flexible chains that were then rigidified by the parallel formation of several diketopiperazine rings. Two mol. rods approx. 15 and 25 Å in length were synthesized containing three and five **monomers**, resp. (I and II). The mol. rods were easily functionalized on both ends and were shown to have high water solubility, making them compatible with biol. buffers. These mols. may find use as scaffolds for the display of ligands in chemical-biol. applications and as spacers and construction materials for nanoscience applications.

AN 2003:242711 CAPLUS
DN 138:385036
TI The Synthesis of Functionalized Nanoscale Molecular Rods of Defined Length
AU Levins, Christopher G.; Schafmeister, Christian E.
CS Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SO Journal of the American Chemical Society (2003), 125(16), 4702-4703
CODEN: JACSAT; ISSN: 0002-7863

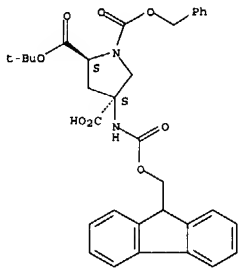
L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
PB American Chemical Society
DT Journal
LA English
OS CASREACT 138:385036
IT **526222-99-1P 526223-00-7P 526223-01-8P**
526223-09-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of functionalized nanoscale mol. rods of defined length)
RN 526222-99-1 CAPLUS
CN 1,2,4-Pyrrolidinetricarboxylic acid, 4-amino-, 2-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



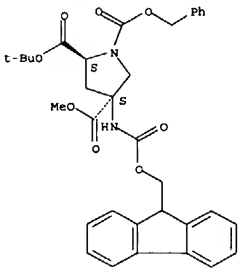
RN 526223-00-7 CAPLUS
CN 1,2,4-Pyrrolidinetricarboxylic acid, 4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-, 2-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S,4S) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 526223-01-8 CAPLUS
CN 1,2,4-Pyrrolidinetricarboxylic acid, 4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-, 2-(1,1-dimethylethyl) 4-methyl 1-(phenylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

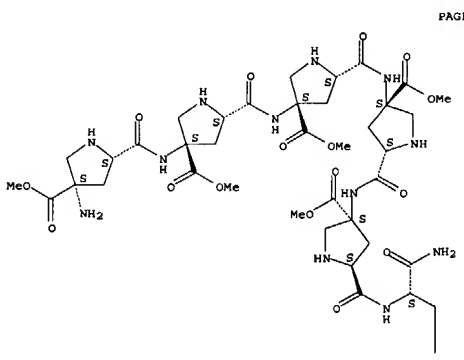


RN 526223-09-6 CAPLUS
CN L-Tyrosinamide,
(4S)-4-[[[(2S,4S)-4-[[[[(2S,4S)-4-[[[[(2S,4S)-4-[[[[(2S,4S)-4-amino-4-(methoxycarbonyl)-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-L-prolyl- (9CI) (CA INDEX NAME)

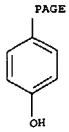
Absolute stereochemistry.

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



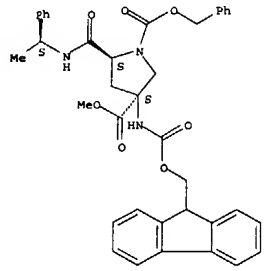
PAGE 2-A



IT **526223-03-0P 526223-04-1P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of functionalized nanoscale mol. rods of defined length)
RN 526223-03-0 CAPLUS
CN 1,3-Pyrrolidinedicarboxylic acid, 3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-5-[[[(1S)-1-phenylethyl]amino]carbonyl]-, 3-methyl 1-(phenylmethyl) ester, (3S,5S)- (9CI) (CA INDEX NAME)

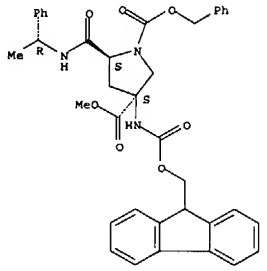
Absolute stereochemistry.

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 526223-04-1 CAPLUS
CN 1,3-Pyrrolidinedicarboxylic acid, 3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-5-[[[(1R)-1 phenylethyl]amino]carbonyl]-, 3-methyl 1-(phenylmethyl) ester, (3S,5S)- (9CI) (CA INDEX NAME)

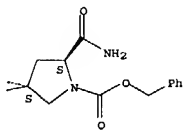
Absolute stereochemistry.



IT **526223-02-9P 526223-05-2P 526223-06-3P**
526223-08-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of functionalized nanoscale mol. rods of defined length)

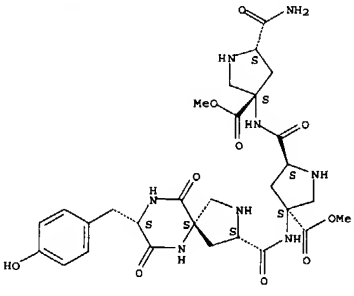
L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-B



RN 526223-06-3 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 5-(aminocarbonyl)-3-[[[(2S,4S)-4-[[[(3S,5S,8S)-8-[(4-hydroxyphenyl)methyl] 7,10-dioxo 2,6,9-triazaspiro[4.5]dec-3-yl]carbonyl]amino]-4-(methoxycarbonyl)-2-pyrrolidinyl]carbonyl]amino]-, methyl ester, (3S,5S)- (9CI) (CA INDEX NAME)

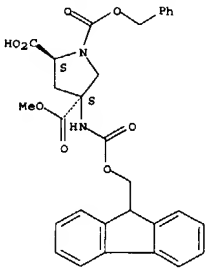
Absolute stereochemistry.



RN 526223-08-5 CAPLUS
CN L-Tyrosinamide, (4S)-4-[[[(2S,4S)-4-[[[(2S,4S)-4-[[[(2S,4S)-4-[[[(2S,4S)-4-amino-4-(methoxycarbonyl)-1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]carbonyl]amino]-4-(methoxycarbonyl)-1-[(phenylmethoxy)carbonyl]-L-prolyl- (9CI) (CA INDEX NAME)

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 526223-02-9 CAPLUS
CN 1,2,4-Pyrrolidinetricarboxylic acid, 4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-, 4-methyl 1-(phenylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

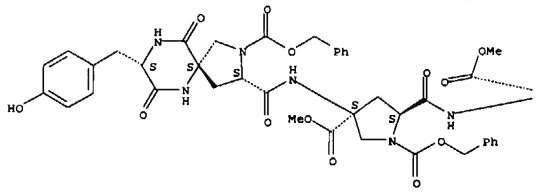
Absolute stereochemistry.



RN 526223-05-2 CAPLUS
CN 1,3-Pyrrolidinedicarboxylic acid, 5-(aminocarbonyl)-3-[[[(2S,4S)-4-[[[(3S,5S,8S)-8-[(4-hydroxyphenyl)methyl]-7,10-dioxo-2-[(phenylmethoxy)carbonyl]-2,6,9-triazaspiro[4.5]dec-3-yl]carbonyl]amino]-4-(methoxycarbonyl)-1-[(phenylmethoxy)carbonyl]-2-pyrrolidinyl]carbonyl]amino]-, 3-methyl 1-(phenylmethyl) ester, (3S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

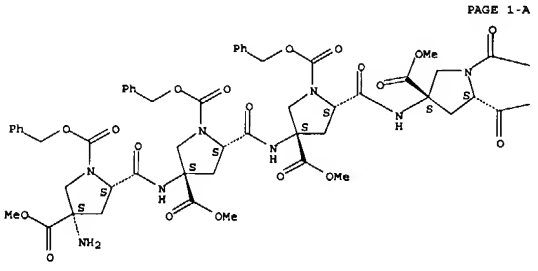
PAGE 1-A



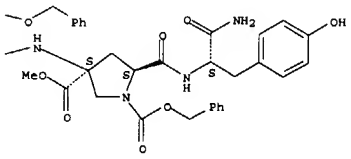
L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

4/1/2004

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=> s l2
L19          11 L2

=> d abs bib fhitstr 1-11
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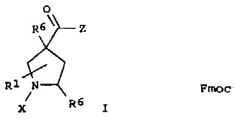
L19 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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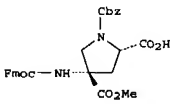

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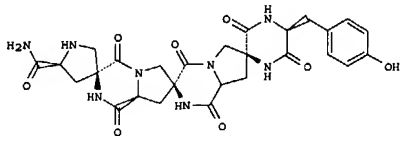


III

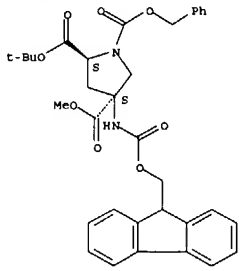
AB The invention provides mol. building blocks of rigid bis(amino acids), which can be linked together through the formation of rigid diketopiperazine rings to provide the desired three dimensional structure.
The bis(amino acid) building blocks are applied to the synthesis of macromols. Compds. such as I (R1 is H or a functional group; R5 is N3 or NR2Y, where Y is a protecting group and R2 is H or a functional group; R6 is CO2H or a strongly-activated ester; X is a protecting group; Z is a weak leaving group) are claimed. Thus, building block II (Cbz = benzyloxycarbonyl, Fmoc = fluorenylmethoxycarbonyl) was prepared from trans-4-hydroxy-L-proline and applied to the sequential solid-phase synthesis of mol. rod III..
AN 2004:120944 CAPLUS
DN 140:181808
TI Preparation of bis(amino acid) molecular scaffolds
IN Schafmeister, Christian E.
PA University of Pittsburgh of the Commonwealth System of Higher Education, USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004013282	A2	20040212	WO 2003-US21399	20030705

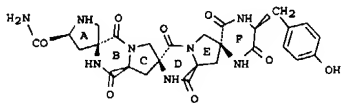
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

L19 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-401474P P 20020806
US 2003-612098 A 20030702
US 2003-613961 A 20030705
OS MARPAT 140:181808
IT **526223-01-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(proline bis(amino acid) derivs. in synthesis of piperazinediones)
RN 526223-01-8 CAPLUS
CN 1,2,4-Pyrrolidinetricarboxylic acid, 4-[[(9H fluoren-9-ylmethoxy)carbonyl]amino] , 2-(1,1-dimethylethyl) 4-methyl 1-(phenylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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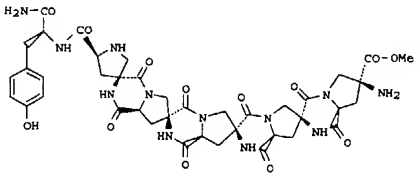
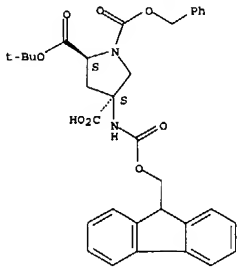


II

AB We report a synthetic approach to spiro-ladder oligomers of defined length
and structure that form water-soluble mol. rods. We describe the synthesis
of a chiral mol. building block and its assembly on solid support to form flexible chains that were then rigidified by the parallel formation of several diketopiperazine rings. Two mol. rods approx. 15 and 25 Å in length were synthesized containing three and five monomers, resp. (I and II).
The mol. rods were easily functionalized on both ends and were shown to have high water solubility, making them compatible with biol. buffers. These
mols. may find use as scaffolds for the display of ligands in chemical-biol.
applications and as spacers and construction materials for nanoscience applications.
AN 2003:242711 CAPLUS
DN 138:385036
TI The Synthesis of Functionalized Nanoscale Molecular Rods of Defined Length
AU Levins, Christopher G.; Schafmeister, Christian E.
CS Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SO Journal of the American Chemical Society (2003), 125(16), 4702-4703
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 138:385036
IT **526223-00-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L19 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(intermediate; synthesis of functionalized nanoscale mol. rods of defined length)
RN 526223-00-7 CAPLUS
CN 1,2,4-Pyrrolidinetricarboxylic acid, 4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-, 2-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (2S,4S)- (9CI) (CA INDEX NAME)

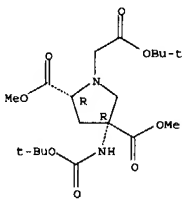
Absolute stereochemistry.



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AB The chemical synthesis of a series of N1-substituted derivs. of (2R,4R)-4-aminopyrrolidine-2,4-dicarboxylic acid [(2R,4R)-APDC] as constrained analogs of γ-substituted glutamic acids is described. Appropriate substitution of the N1-position results in agonist, partial agonist, or antagonist activity at mGluR2, mGluR3, and/or mGluR6.
AN 2001:518626 CAPLUS
DN 135:338726
TI Synthesis of N1-substituted analogues of (2R,4R)-4-amino-pyrrolidine-2,4-dicarboxylic acid as agonists, partial agonists, and antagonists of group II metabotropic glutamate receptors
AU Mukhopadhyaya, J. K.; Kozikowski, A. P.; Grajkowska, B.; Pshenichkin, S.; Wroblewski, J. T.
CS Department of Neurology, Drug Discovery Program, Georgetown University Medical Center, Washington, DC, 20007, USA
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1919-1924
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
IT **371978-97-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of N1-substituted analogs of (2R,4R)-4-amino-pyrrolidine-2,4-dicarboxylic acid as agonists, partial agonists, and antagonists of group II metabotropic glutamate receptors)
RN 371978-97-1 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-[2 (1,1 dimethylethoxy)-2-oxoethyl]-, dimethyl ester, (2R,4R)- (9CI) (CA INDEX NAME)

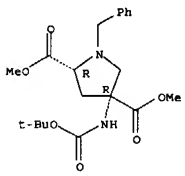
Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AB The synthesis of the 1-amino derivative of (2R,4R)-4-aminopyrrolidine-2,4-dicarboxylic acid (1-amino-APDC), a selective metabotropic glutamate ligand, is disclosed. This compound acts as a partial agonist of the group II mGluRs and shows pronounced neuroprotective properties in the NMDA model of cell toxicity.
AN 1999:404112 CAPLUS
DN 131:170607
TI 1-amino-APDC, a partial agonist of group II metabotropic glutamate receptors with neuroprotective properties
AU Kozikowski, Alan P.; Araldi, Gian Luca; Tuckmantel, Werner; Pshenichkin, Sergey; Surina, Elena; Wroblewski, Jarda T.
CS Georgetown University Medical Center, Drug Discovery Laboratory, Institute for Cognitive and Computational Sciences, Washington, DC, 20007-2197, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(12), 1721-1726
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
IT **238753-26-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-amino-APDC, a partial agonist of group II metabotropic glutamate receptors with neuroprotective properties)
RN 238753-26-9 CAPLUS
CN 2,4 Pyrrolidinedicarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(phenylmethyl)-, dimethyl ester, (2R,4R)- (9CI) (CA INDEX NAME)

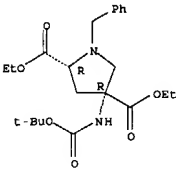
Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

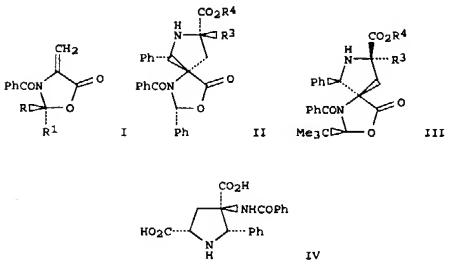
L19 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AB A series of N1-substituted derivs. of (2R,4R)-4-aminopyrrolidine-2,4-dicarboxylate (2R,4R-APDC) has been prepared as constrained analogs of γ-substituted glutamic acids and examined for their effects at recombinant metabotropic glutamate receptor (mGluR) subtypes in vitro. Appropriate substitution of the N1 position of 2R,4R-APDC resulted in the identification of a number of selective group II mGluR antagonists.
AN 1998:554710 CAPLUS
DN 129:254357
TI Synthesis and metabotropic glutamate receptor antagonist activity of N1-substituted analogs of 2R,4R-4-aminopyrrolidine-2,4-dicarboxylic acid
AU Valli, Matthew J.; Schoepp, Darryle D.; Wright, Rebecca A.; Johnson, Bryan G.; Kingston, Ann E.; Tomlinson, Rosemarie; Monn, James A.
CS Discovery Chemistry Research, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(15), 1985-1990
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
IT **174266-81-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and metabotropic glutamate receptor antagonist activity of N1-substituted analogs of 2R,4R-4-aminopyrrolidine-2,4-dicarboxylic acid)
RN 174266-81-0 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(phenylmethyl)-, diethyl ester, (2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

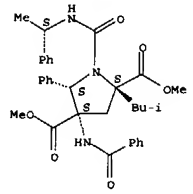
L19 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB The 1,3-dipolar cycloaddn. reactions of the title oxazolidinones I (R = H, R1 = Ph; R = CMe3, R1 = H) with the azomethine ylides PhCH:NCHR3CO2R4 (R3 = Me, CH2CHMe2, Ph, CH2Ph, H; R4 = Me, Et), derived from N-benzylidene α-amino acid esters, proceed with good to high diastereoselectivity giving mainly the exo-cycloadducts II and III. The cycloaddn. adducts can be converted to highly functionalized prolines, e.g., IV, in high enantiomeric purity. The Michael addition adducts of I with the azomethine ylides derived from N-(disubstituted methylidene) α-amino acid esters allow for a practical synthesis of all four stereoisomers of 4-benzamidopyroglutamate. The stereochem. of these cycloaddn. and Michael adducts has been extensively determined by single-crystal x-ray structural anal. Lithium-chelated transition state structures have been proposed to rationalize the stereochem. outcomes of these reactions.
AN 1998:243963 CAPLUS
DN 129:16079
TI Diastereoselective 1,3-dipolar cycloadditions and Michael reactions of azomethine ylides to (2R)-3-benzoyl-4-methylidene-2-phenyloxazolidin-5 one and (2S)-3-benzoyl- 2-t-butyl-4-methylideneoxazolidin-5-one
AU Pyne, Stephen G.; Safaei, Javad; Schafer, A. Karl; Javidan, Abdollah; Skelton, Brian W.; White, Allan H.
CS Department of Chemistry, University of Wollongong, Wollongong, 2522, Australia
SO Australian Journal of Chemistry (1998), 51(2), 137-158
CODEN: AJCHAS; ISSN: 0004-9425
PB CSIRO Publishing
DT Journal
LA English

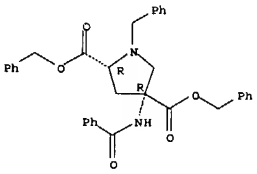
L19 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
IT **207796-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(diastereoselective dipolar cycloaddns. and Michael reactions of azomethine ylides to oxazolidinones)
RN 207796-15-4 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 4-(benzoylamino)-2-(2-methylpropyl)-5-phenyl-1-[[[(1S)-1-phenylethyl]amino]carbonyl] , dimethyl ester, (2S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



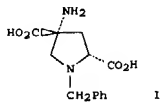
RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
GI

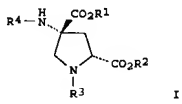


I

AB The synthesis of the 1-benzyl derivative of (2R,4R)-4-aminopyrrolidine-2,4-dicarboxylic acid (I) starting from cis-4-hydroxy-D-proline is disclosed together with a study of the activity of this compound at metabotropic glutamate receptors (mGluRs). The title compound I (1-benzyl-APDC) was found to display good mGluR6 selectivity, and may thus be a useful pharmacol. research tool.
AN 1997:188941 CAPLUS
DN 126:277738
TI Synthesis, molecular modeling, and biology of the 1-benzyl derivative of APDC - an apparent mGluR6 selective ligand
AU Tuckmantel, Werner; Kozikowski, Alan P.; Wang, Shaomeng; Pshenichkin, Sergey; Wroblewski, Jarda T.
CS Georgetown University Medical Center, Drug Discovery Laboratory, Institute for Cognitive and Computational Sciences, Washington, DC, 20007-2197, USA
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(5), 601-606
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
IT **188966-66-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, mol. modeling, and metabotropic glutamate receptor antagonist activity of aminopyrrolidinedicarboxylate derivs.)
RN 188966-66-7 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 4-(benzoylamino)-1-(phenylmethyl)-, bis(phenylmethyl) ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L19 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
GI



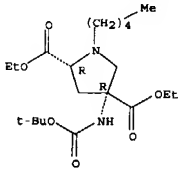
I

AB The present invention provides pyrrolidinyl dicarboxylic acid derivs. I wherein: R1 and R2 are each individually H or a carboxy protecting group; R4 is H or an amino protecting group; R3 = e.g., C1-16 alkyl, C3-8 cycloalkyl; C3-8 cycloalkenyl, aryl, that affect certain excitatory amino acid receptors (no data), and are useful in the treatment of neurol. disorders and psychiatric disorders. This invention further provides novel pyrrolidinyl di carboxylic acid derivs. and pharmaceutical formulations employing these novel compds. Thus, cis-4-hydroxy-D-proline was esterified and N-benzylated to provide (2R,4R) Et 1-benzyl-4-hydroxypyrrolidine 2-carboxylate; this was oxidized to the 4-oxo derivative which was treated with KCN/ammonium carbonate to afford (2R,4R) di-Et 1-benzyl-4-aminopyrrolidine-2,4-dicarboxylate; the latter was N protected and debenzylated to afford (2R,4R) di-Et 4 (BOC-amino)pyrrolidine-2,4-dicarboxylate (II) as the scaffold intermediate. Reductive alkylation of II with pentanal afforded (2R,4R) di-Et 4-(BOC-amino)-1-pentylpyrrolidine-2,4-dicarboxylate which was deprotected and hydrolyzed to (2R,4R) 4-amino-1-pentylpyrrolidine-2,4-dicarboxylic acid (I; R1 = R2 = R4 = H, R3 = pentyl).
AN 1996:410401 CAPLUS
DN 125:86486
TI (2R,4R)-4-Aminopyrrolidine-2,4-dicarboxylic acid derivatives as metabotropic glutamate receptor antagonists
IN Monn, James Allen; Tizzano, Joseph Patrick; Valli, Matthew J.
PA Eli Lilly and Co., USA
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9605828	A1	19960229	WO 1995-US10320	19950814
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2198242	AA	19960229	CA 1995-2198242	19950814
AU 9533252	A1	19960314	AU 1995-33252	19950814

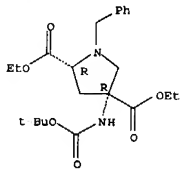
L19 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
JP 10504569 T2 19980506 JP 1995-508157 19950814
EP 703218 A1 19960327 EP 1995-305800 19950821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
PRAI US 1994-295337 19940824
WO 1995-US10320 19950814
OS MARPAT 125:86486
IT **178415-41-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
((2R,4R)-4-aminopyrrolidine-2,4-dicarboxylic acid derivs. as metabotropic glutamate receptor antagonists)
RN 178415-41-3 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-pentyl-, diethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 2,4-Pyrrolidinedicarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(phenylmethyl)-, diethyl ester, (2R,4R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AB The four isomers of 4-aminopyrrolidine-2,4-dicarboxylate (APDC) were prepared and evaluated for their effects at glutamate receptors in vitro. (2R,4R)-APDC (2a), an aza analog of the nonselective mGluR agonist (1S,3R)-1-aminocyclopentane-1,3-dicarboxylate ((1S,3R)-ACPD, 1), was found to possess relatively high affinity for metabotropic glutamate receptors (mGluRs) (ACPD-sensitive [3H]glutamate binding IC50 = 6.49±1.21 μM) with no effects on radioligand binding to NMDA, AMPA, or kainate receptors up to 100 μM. None of the other APDC isomers showed significant mGluR binding affinity, indicating that this interaction is highly stereospecific. Both 1 and 2a were effective in decreasing forskolin stimulated cAMP formation in the adult rat cerebral cortex (EC50 = 8.17±2.21 μM for 1; EC50 = 14.51±5.54 μM for 2a); however, while 1 was also effective in stimulating basal tritiated inositol monophosphate production in the neonatal rat cerebral cortex (EC50 = 27.7±5.2 μM), 2a (up to 100 μM) was ineffective in stimulating phosphoinositide hydrolysis in this tissue preparation, further supporting our previous observations that 2a is a highly selective agonist for mGluRs neg. coupled to adenylate cyclase. Microelectrophoretic application of either 1 or 2a to intact rat spinal neurons produced an augmentation of AMPA-induced excitation (95±10% increase for 1, 52±6% increase for 2a). Intracerebral injection of 1 (400 nmol) produced characteristic limbic seizures in mice which are not mimicked by 2a (200-1600 nmol, ic). However, the limbic seizures induced by 1 were blocked by systemically administered 2a in a dose-dependent manner (EC50 = 271 mg/kg, i.p.). It is concluded that (2R,4R)-APDC (2a) is a highly selective, systemically active agonist of mGluRs neg. coupled to adenylate cyclase and that selective activation of these receptors in vivo can result in anticonvulsant effects.
AN 1996:383040 CAPLUS
DN 125:104243
TI Synthesis of the Four Isomers of 4-Aminopyrrolidine 2,4-dicarboxylate: Identification of a Potent, Highly Selective, and Systemically-Active Agonist for Metabotropic Glutamate Receptors Negatively Coupled to Adenylate Cyclase
AU Monn, James A.; Valli, Matthew J.; Johnson, Bryan G.; Salhoff, Craig R.; Wright, Rebecca A.; Howe, Trevor; Bond, Ann; Lodge, David; Spangle, Larry A.; et al.
CS Core Technology Division, Eli Lilly and Company, Indianapolis, IN, USA
SO Journal of Medicinal Chemistry (1996), 39(15), 2990-3000
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 125:104243
IT **174266-81-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of four isomers of 4 aminopyrrolidine-2,4-dicarboxylate as agonists for metabotropic glutamate receptors neg. coupled to adenylate cyclase)
RN 174266-81-0 CAPLUS

L19 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
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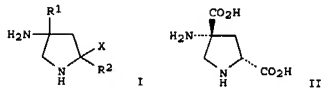


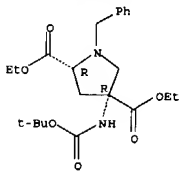
AB The present invention provides title compds. I where R1 and R2 are independently carboxylic acid or 5-tetrazolyl, or a pharmaceutically acceptable salt or solvate thereof, that affect certain excitatory amino acid receptors, and are useful in the treatment of neurol. disorders and psychiatric disorders (no data). Thus, e.g., hydrolysis of di-Et (2R,4R)-4-(tert-butyloxycarbonylamino)pyrrolidine-2,4-dicarboxylate (preparation given) afforded title derivative (2R,4R)-4-aminopyrrolidine-2,4-dicarboxylic acid (II). Pharmaceutical formulations were given.
AN 1996:34902 CAPLUS
DN 124:203095
TI Pyrrolidinyl dicarboxylic acid derivatives as metabotropic glutamate receptor agonists
IN Monn, James A.; Schoepp, Darryle D.; Valli, Matthew J.
PA Eli Lilly and Co., USA
SO U.S., 12 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5473077	A	19951205	US 1994-337801	19941114
	EP 711755	A1	19960515	EP 1995-308031	19951109
	EP 711755	B1	20000531		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT 193529	E	20000615	AT 1995-308031	19951109
	ES 2146718	T3	20000816	ES 1995-308031	19951109
	CA 2204767	AA	19960523	CA 1995-2204767	19951113
	WO 9615108	A1	19960523	WO 1995-US14675	19951113
	W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9642818	A1	19960606	AU 1996-42818	19951113
	JP 10508855	T2	19980902	JP 1995-516228	19951113
PRAI	US 1994-337801	A	19941114		
	WO 1995-US14675	W	19951113		

OS MARPAT 124:203095
IT **174266-81-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrrolidinyl dicarboxylic acid derivs. as metabotropic glutamate receptor agonists)
RN 174266-81-0 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-

L19 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-(phenylmethyl)-, diethyl ester, (2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L19 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

AB Asym. syntheses of the title compds. were performed from trans-4-hydroxy-L-proline as homochiral starting material via spirohydantoin ring formation by Bucherer-Bergs reaction of the 4 oxoproline derivs.

AN 1995:784536 CAPLUS

DN 124:9374

TI Asymmetric syntheses of all four isomers of 4-amino-4-carboxyproline: novel conformationally restricted glutamic acid analogs

AU Tanaka, Ken-ichi; Sawanishi, Hiroyuki

CS Faculty of Pharmaceutical Science, Hokuriku University, Kanazawa, 920-11, Japan

SO Tetrahedron: Asymmetry (1995), 6(7), 1641-56
CODEN: TASYE3; ISSN: 0957-4166

PB Elsevier

DT Journal

LA English

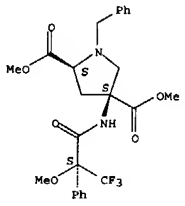
OS CASREACT 124:9374

IT **171192-79-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. syntheses of aminocarboxyproline stereoisomers as conformationally restricted Glu analogs)

RN 171192-79-3 CAPLUS

CN 2,4-Pyrrolidinedicarboxylic acid, 1-(phenylmethyl)-4-[(3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl)amino]-, dimethyl ester, [2S-[2α,4α(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	64.72	508.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.32	-22.18

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